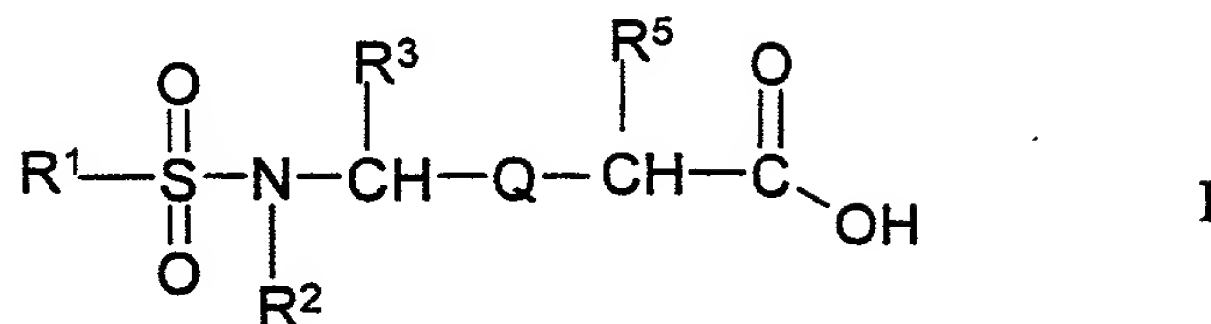


WHAT IS CLAIMED IS:

1. A compound of formula I:



10 wherein

R^1 is selected from the group consisting of alkyl, substituted alkyl, aryl, substituted aryl, cycloalkyl, substituted cycloalkyl, heterocyclic, substituted heterocyclic, heteroaryl and substituted heteroaryl;

15 R^2 is selected from the group consisting of hydrogen, alkyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, heterocyclic, substituted heterocyclic, substituted alkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, and R^1 and R^2 together with the nitrogen atom bound to R^2 and the SO_2 group bound to R^1 can form a heterocyclic or a substituted heterocyclic group;

20 R^3 is selected from the group consisting of hydrogen, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic, substituted heterocyclic and, when R^2 does not form a heterocyclic group with R^1 , R^2 and R^3 together with the nitrogen atom bound to R^2 and the carbon atom bound to R^3 can form a
25 heterocyclic or a substituted heterocyclic group;

R^5 is $-(\text{CH}_2)_x - \text{Ar} - \text{R}^{5'}$ where $\text{R}^{5'}$ is selected from the group consisting of $-\text{O}-\text{Z}-\text{NR}^8\text{R}^{8'}$ and $-\text{O}-\text{Z}-\text{R}^{12}$ wherein R^8 and $\text{R}^{8'}$ are independently selected from the group consisting of hydrogen, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, heterocyclic, substituted heterocyclic, and where R^8
30 and $\text{R}^{8'}$ are joined to form a heterocycle or a substituted heterocycle, R^{12} is

selected from the group consisting of heterocycle and substituted heterocycle, and Z is selected from the group consisting of -C(O)- and -SO₂-,

Ar is aryl, heteroaryl, substituted aryl or substituted heteroaryl,

5 x is an integer of from 1 to 4;

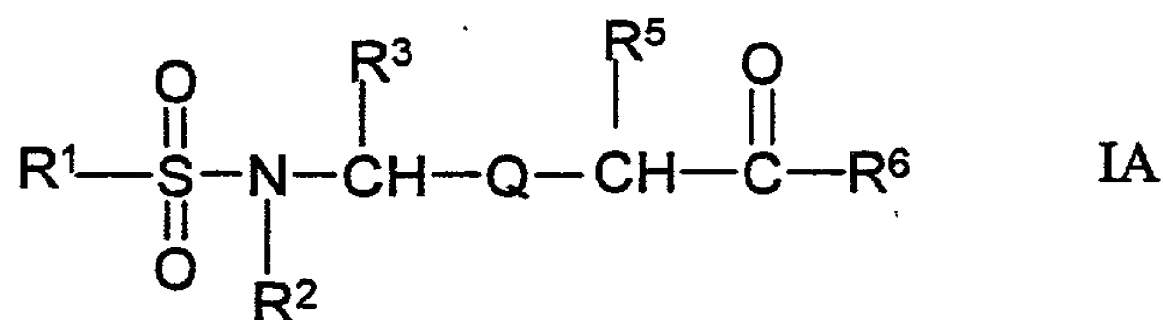
Q is -C(X)NR⁷- wherein R⁷ is selected from the group consisting of hydrogen and alkyl; and X is selected from the group consisting of oxygen and sulfur;

and pharmaceutically acceptable salts thereof.

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2. A compound of formula IA:

15



wherein

R¹ is selected from the group consisting of alkyl, substituted alkyl, aryl, substituted aryl, cycloalkyl, substituted cycloalkyl, heterocyclic, substituted heterocyclic, heteroaryl and substituted heteroaryl;

R² is selected from the group consisting of hydrogen, alkyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, heterocyclic, substituted heterocyclic, substituted alkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, and R¹ and R² together with the nitrogen atom bound to R² and the SO₂ group bound to R¹ can form a heterocyclic or a substituted heterocyclic group;

R³ is selected from the group consisting of hydrogen, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic, substituted heterocyclic and, when R² does not form a heterocyclic group with R¹, R² and R³ together with the

30

nitrogen atom bound to R^2 and the carbon atom bound to R^3 can form a heterocyclic or a substituted heterocyclic group;

R^5 is $-(CH_2)_x-Ar-R^{5'}$ and $R^{5'}$ is selected from the group consisting of $-O-Z-NR^8R^{8'}$ and $-O-Z-R^{12}$ wherein R^8 and $R^{8'}$ are independently selected from the group consisting of hydrogen, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, heterocyclic, substituted heterocyclic, and where R^8 and $R^{8'}$ are joined to form a heterocycle or a substituted heterocycle, R^{12} is selected from the group consisting of heterocycle and substituted heterocycle, and Z is selected from the group consisting of $-C(O)-$ and $-SO_2-$,

Ar is aryl, heteroaryl, substituted aryl or substituted heteroaryl,
 x is an integer of from 1 to 4;

R^6 is selected from the group consisting of amino, alkoxy, substituted alkoxy, cycloalkoxy, substituted cycloalkoxy, $-O-(N\text{-succinimidyl})$, $-NH\text{-adamantyl}$, $-O\text{-cholest-5-en-3-}\beta\text{-yl}$, $-NHOY$ where Y is hydrogen, alkyl, substituted alkyl, aryl, and substituted aryl, $-NH(CH_2)_pCOOY$ where p is an integer of from 1 to 8 and Y is as defined above, $-OCH_2NR^9R^{10}$ where R^9 is selected from the group consisting of $-C(O)\text{-aryl}$ and $-C(O)\text{-substituted aryl}$ and R^{10} is selected from the group consisting of hydrogen and $-CH_2COOR^{11}$ where R^{11} is alkyl, and $-NHSO_2Z'$ where Z' is alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic and substituted heterocyclic;

Q is $-C(X)NR^7-$ wherein R^7 is selected from the group consisting of hydrogen and alkyl; and X is selected from the group consisting of oxygen and sulfur;

and pharmaceutically acceptable salts thereof
with the following provisos

(A) when R^1 and R^2 together with the SO_2 group pendent to R^1 and the nitrogen pendent to R^2 form a saccharin-2-yl group, R^3 is $-CH_3$, R^5 is $p\text{-}[(CH_3)_2NC(O)O\text{-}]benzyl$ and Q is $-C(O)NH-$ then R^6 is not $-OC(CH_3)_3$;

(B) when R¹ is *p*-methylphenyl, R² and R³ together with the nitrogen atom pendent to R² and the carbon atom pendent to R³ form a pyrrodinyl ring derived from D-proline; R⁵ is *p*-[(4-methylpiperazin-1-yl)NC(O)O-]benzyl derived from D-phenylalanine and Q is -C(O)NH- then R⁶ is not
5 -OC(CH₃)₃;

(C) when R¹ is pyrimidin-2-yl, R² and R³ together with the nitrogen atom bound to R² and the carbon atom bound to R³ form a pyrrolidinyl ring, R⁵ is *p*-[(CH₃)₂NC(O)O-]benzyl and Q is -C(O)NH- then R⁶ is not
-OC(CH₃)₃; and

(D) when R¹ is *p*-methylphenyl, R² and R³ together with the nitrogen atom pendent to R² and the carbon atom pendent to R³ form a (2S)-piperazin-2-carbonyl ring; R⁵ is *p*-[(CH₃)₂NC(O)O-]benzyl and Q is -C(O)NH- then R⁶ is not -OC(CH₃)₃.

3. A compound according to Claims 1 or 2 wherein R¹ is selected from the group consisting of alkyl, substituted alkyl, aryl, substituted aryl, heterocyclic, substituted heterocyclic, heteroaryl and substituted heteroaryl.

4. A compound according to Claims 1 or 2 wherein R¹ is selected from the group consisting of methyl, isopropyl, *n*-butyl, benzyl, phenethyl, phenyl, 4-methylphenyl, 4-*t*-butylphenyl, 2,4,6-trimethylphenyl, 2-fluorophenyl, 3-fluorophenyl, 4-fluorophenyl, 2,4-difluorophenyl, 3,4-difluorophenyl, 3,5-difluorophenyl, 2-chlorophenyl, 3-chlorophenyl, 4-chlorophenyl, 3,4-dichlorophenyl, 3,5-dichlorophenyl, 3-chloro-4-fluorophenyl, 4-bromophenyl, 2-methoxyphenyl, 3-methoxyphenyl, 4-methoxyphenyl, 3,4-dimethoxyphenyl, 4-*t*-butoxyphenyl, 4-(3'-dimethylamino-*n*-propoxy)-phenyl, 2-carboxyphenyl, 2-(methoxycarbonyl)phenyl, 4-(H₂NC(O)-)phenyl, 4-(H₂NC(S)-)phenyl, 4-cyanophenyl, 4-trifluoromethylphenyl, 4-trifluoromethoxyphenyl, 3,5-di(trifluoromethyl)phenyl, 4-nitrophenyl, 4-aminophenyl, 4-(CH₃C(O)NH-phenyl, 4-(PhNHC(O)NH-)phenyl, 4-amidinophenyl, 4-

methyramidinophenyl, 4-(CH₃SC(=NH)-)phenyl, 4-chloro-3-(H₂NS(O)₂-)
phenyl, 1-naphthyl, 2-naphthyl, pyridin-2-yl, pyridin-3-yl, pyrimidin-2-yl,
quinolin-8-yl, 2-(trifluoroacetyl)-1,2,3,4-tetrahydroisoquinolin-7-yl,
morpholin-4-yl, 2-thienyl, 5-chloro-2-thienyl, 2,5-dichloro-4-thienyl, 1-N-
5 methylimidazol-4-yl, 1-N-methylpyrazol-3-yl, 1-N-methylpyrazol-4-yl, 1-N-
butylpyrazol-4-yl, 1-N-methyl-3-methyl-5-chloropyrazol-4-yl, 1-N-methyl-5-
methyl-3-chloropyrazol-4-yl, 2-thiazolyl and 5-methyl-1,3,4-thiadiazol-2-yl.

5. A compound according to Claims 1 or 2 wherein R² is selected
10 from the group consisting of hydrogen, methyl, phenyl, benzyl, -(CH₂)₂-2-
thienyl, and -(CH₂)₂-φ.

6. A compound according to Claims 1 or 2 wherein R¹ and R²
together with the nitrogen atom bound to R² and the SO₂ group bound to R¹
15 are joined to form a heterocyclic group or substituted heterocyclic group.

7. A compound according to Claims 1 or 2 wherein R² and R³
together with the nitrogen atom bound to R² substituent and the carbon
bound to the R³ substituent form a heterocyclic group or a substituted
20 heterocyclic group.

8. A compound according to Claim 7 wherein the heterocyclic
group is saturated.

25 9. A compound according to Claim 7 wherein the heterocyclic
group is selected from the group consisting of azetidiny, thiazolidiny,
piperidiny, piperaziny, dihydroindolyl, tetrahydroquinoliny,
thiomorpholiny, pyrrolidiny, 4-hydroxypyrrolidiny, 4-oxopyrrolidiny, 4-
fluoropyrrolidiny, 4,4-difluoropyrrolidiny, 4-(thiomorpholin-4-ylC(O)O-
30)pyrrolidiny, 4-(CH₃S(O)₂O-)pyrrolidiny, 3-phenylpyrrolidiny, 3-
thiophenylpyrrolidiny, 4-aminopyrrolidiny, 3-methoxypyrrolidiny, 4,4-

dimethylpyrrolidinyl, 4-N-Cbz-piperazinyl, 4-(CH₃S(O)₂-)piperazinyl, 5,5-dimethylthiazolidin-4-yl, 1,1-dioxo-thiazolidinyl, 1,1-dioxo-5,5-dimethylthiazolidin-2-yl and 1,1-dioxothiophomorpholinyl.

5 10. A compound according to Claims 1 or 2 wherein R³ is selected from the group consisting of methyl, phenyl, benzyl, diphenylmethyl, -CH₂CH₂-COOH, -CH₂-COOH, 2-amidoethyl, *iso*-butyl, *t*-butyl, -CH₂O-benzyl and hydroxymethyl.

10 11. A compound according to Claims 1 or 2 wherein Q is -C(O)NH- or -C(S)NH-.

15 12. A compound according to Claims 1 or 2 wherein Ar is aryl or substituted aryl.

13. A compound according to Claim 12 wherein Ar is phenyl or substituted phenyl and x is 1.

20 14. A compound according to Claim 1 or 2 wherein R⁵ is selected from the group consisting of

3-[(CH₃)₂NC(O)O-]benzyl,

4-[(CH₃)₂NC(O)O-]benzyl,

4-[(CH₃)₂NS(O)₂O-]benzyl,

25 4-[(piperidin-1'-yl)C(O)O-]benzyl,

4-[(piperidin-4'-yl)C(O)O-]benzyl,

4-[(1'-methylpiperidin-4'-yl)C(O)O-]benzyl,

4-[(4'-hydroxypiperidin-1'-yl)C(O)O-]benzyl,

4-[(4'-formyloxypiperidin-1'-yl)C(O)O-]benzyl,

30 4-[(4'-ethoxycarbonylpiperidin-1'-yl)C(O)O-]benzyl,

4-[(4'-carboxypiperidin-1'-yl)C(O)O-]benzyl,

4-[(3'-hydroxymethylpiperidin-1'-yl)C(O)O-]benzyl,

- 4-[(4'-hydroxymethylpiperidin-1'-yl)C(O)O-]benzyl,
 4-[(4'-phenyl-1'-Boc-piperidin-4'-yl)-C(O)O-]benzyl,
 4-[(4'-piperidon-1'-yl ethylene ketal)C(O)O-]benzyl,
 4-[(piperazin-4'-yl)-C(O)O-]benzyl,
 5 4-[(1'-Boc-piperazin-4'-yl)-C(O)O-]benzyl,
 4-[(4'-methylpiperazin-1'-yl)C(O)O-]benzyl,
 4-[(4'-methylhomopiperazin-1'-yl)C(O)O-]benzyl,
 4-[(4'-(2-hydroxyethyl)piperazin-1'-yl)C(O)O-]benzyl,
 4-[(4'-phenylpiperazin-1'-yl)C(O)O-]benzyl,
 10 4-[(4'-(pyridin-2-yl)piperazin-1'-yl)C(O)O-]benzyl,
 4-[(4'-(4-trifluoromethylpyridin-2-yl)piperazin-1'-yl)C(O)O-]benzyl,
 4-[(4'-(pyrimidin-2-yl)piperazin-1'-yl)C(O)O-]benzyl,
 4-[(4'-acetylpiperazin-1'-yl)C(O)O-]benzyl,
 4-[(4'-(phenylC(O)-)piperazin-1'-yl)C(O)O-]benzyl,
 15 4-[(4'-(pyridin-4-ylC(O)-)piperazin-1'-yl)C(O)O-]benzyl,
 4-[(4'-(phenylNHC(O)-)piperazin-1'-yl)C(O)O-]benzyl,
 4-[(4'-(phenylNHC(S)-)piperazin-1'-yl)C(O)O-]benzyl,
 4-[(4'-methanesulfonylpiperazin-1'-yl-C(O)O-]benzyl,
 4-[(4'-trifluoromethanesulfonylpiperazin-1'-yl-C(O)O-]benzyl,
 20 4-[(morpholin-4'-yl)C(O)O-]benzyl,
 3-nitro-4-[(morpholin-4'-yl)-C(O)O-]benzyl,
 4-[(thiomorpholin-4'-yl)C(O)O-]benzyl,
 4-[(thiomorpholin-4'-yl sulfone)-C(O)O-]benzyl,
 4-[(pyrrolidin-1'-yl)C(O)O-]benzyl,
 25 4-[(2'-methylpyrrolidin-1'-yl)C(O)O-]benzyl,
 4-[(2'-(methoxycarbonyl)pyrrolidin-1'-yl)C(O)O-]benzyl,
 4-[(2'-(hydroxymethyl)pyrrolidin-1'-yl)C(O)O-]benzyl,
 4-[(2'-(N,N-dimethylamino)ethyl)(CH₃)NC(O)O-]benzyl,
 4-[(2'-(N-methyl-N-toluene-4-sulfonylamino)ethyl)(CH₃)N-
 30 C(O)O-]benzyl,
 4-[(2'-(morpholin-4'-yl)ethyl)(CH₃)NC(O)O-]benzyl,

- 4-[(2'-(hydroxy)ethyl)(CH₃)NC(O)O-]benzyl,
 4-[bis(2'-(hydroxy)ethyl)NC(O)O-]benzyl,
 4-[(2'-(formyloxy)ethyl)(CH₃)NC(O)O-]benzyl,
 4-[(CH₃OC(O)CH₂)HNC(O)O-]benzyl,
 5 4-[2'-(phenylNHC(O)O-)ethyl-]HNC(O)O-]benzyl,
 3-chloro-4-[(CH₃)₂NC(O)O-]benzyl,
 3-chloro-4-[(4'-methylpiperazin-1'-yl)C(O)O-]benzyl,
 3-chloro-4-[(4'-(pyridin-2-yl)piperazin-1'-yl)C(O)O-]benzyl,
 3-chloro-4-[(thiomorpholin-4'-yl)C(O)O-]benzyl, and
 10 3-fluoro-4-[(CH₃)₂NC(O)O-]benzyl.

15. A compound according to Claim 2 wherein R⁶ is selected from the group consisting of 2,4-dioxo-tetrahydrofuran-3-yl (3,4-enol), methoxy, ethoxy, *n*-propoxy, isopropoxy, *n*-butoxy, *t*-butoxy, cyclopentoxy,
 15 cyclopropylmethoxy, neopentoxy, 2- α -isopropyl-4- β -methylcyclohexoxy, 2- β -isopropyl-4- β -methylcyclohexoxy, 2-methoxyphenoxy, 2-(morpholin-4-yl)ethoxy, -O(CH₂CH₂O)₂CH₃, 2-(phenoxy)ethoxy, -OCH₂C(CH₃)₂NHBoc, -NH₂, benzyloxy, -NHCH₂COOH, -NHCH₂CH₂COOH, -NH-adamantyl, -NHSO₂-*p*-CH₃- ϕ , -NHCH₂CH₂COOCH₂CH₃, -NHOY' where Y' is
 20 hydrogen, methyl, *iso*-propyl or benzyl, -O-(N-succinimidyl), -O-cholest-5-en-3- β -yl, -OCH₂-OC(O)C(CH₃)₃, -O(CH₂)_zNHC(O)W where *z* is 1 or 2 and W is selected from the group consisting of pyrid-3-yl, N-methylpyridyl, and N-methyl-1,4-dihydro-pyrid-3-yl, -NR''C(O)-R' where R' is aryl, heteroaryl or heterocyclic and R'' is hydrogen or -CH₂C(O)OCH₂CH₃.

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16. A compound selected from the group consisting of:

- N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine ethyl ester
 30 *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine ethyl ester

- N*-(toluene-4-sulfonyl)-*L*-prolyl-*L*-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine isopropyl ester
- 5 *N*-(toluene-4-sulfonyl)-*L*-prolyl-*L*-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine *n*-butyl ester
- N*-(toluene-4-sulfonyl)-*L*-prolyl-*L*-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine cyclopentyl ester
- 10 *N*-(toluene-4-sulfonyl)-*L*-prolyl-*L*-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester
- N*-(toluene-4-sulfonyl)-*L*-prolyl-*L*-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine
- 15 *N*-(toluene-4-sulfonyl)-*L*-prolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester
- N*-(toluene-4-sulfonyl)-*L*-prolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *n*-butyl ester
- 20 *N*-(toluene-4-sulfonyl)-*L*-prolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine cyclopentyl ester
- N*-(toluene-4-sulfonyl)-*L*-prolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- 25 *N*-(toluene-4-sulfonyl)-*L*-prolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine
- 30 *N*-(toluene-4-sulfonyl)-*L*-prolyl-*L*-4-(isonipecotoyloxy)phenylalanine ethyl ester
- N*-(α -toluenesulfonyl)-*L*-prolyl-*L*-4-(*N*-methylisonipecotoyloxy)phenylalanine ethyl ester
- 35 *N*-(α -toluenesulfonyl)-*L*-prolyl-*L*-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine
- N*-(toluene-4-sulfonyl)-*L*-prolyl-*L*-3-(*N,N*-dimethylcarbamyloxy)phenylalanine ethyl ester
- 40 *N*-(toluene-4-sulfonyl)-*L*-prolyl-*L*-4-(1-*tert*-butylcarbonyloxy-4-phenylpiperidin-4-ylcarbonyloxy)phenylalanine ethyl ester
- 45

- N*-(toluene-4-sulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester
- 5 *N*-(toluene-4-sulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- N*-(toluene-4-sulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine
- 10 *N*-(toluene-4-sulfonyl)-*L*-[(1,1-dioxo)thiamorpholin-3-carbonyl]-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- N*-(toluene-4-sulfonyl)-*L*-[(1,1-dioxo)thiamorpholin-3-carbonyl]-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine
- 15 *N*-(toluene-4-sulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester
- N*-(toluene-4-sulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine
- 20 *N*-(toluene-4-sulfonyl)sarcosyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester
- N*-(toluene-4-sulfonyl)sarcosyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- 25 *N*-(toluene-4-sulfonyl)sarcosyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine
- 30 *N*-(toluene-4-sulfonyl)-*L*-prolyl-*L*-4-(*N,N*-dimethylaminosulfonyloxy)phenylalanine *tert*-butyl ester
- N*-(toluene-4-sulfonyl)-*L*-prolyl-*L*-4-(*N,N*-dimethylaminosulfonyloxy)phenylalanine
- 35 *N*-(1-methylimidazole-4-sulfonyl)-*L*-prolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- N*-(4-aminobenzenesulfonyl)-*L*-prolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- 40 *N*-(toluene-4-sulfonyl)sarcosyl-*L*-4-(morpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester
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- N*-(toluene-4-sulfonyl)-*L*-prolyl-*L*-4-(morpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester
- 5 *N*-(α -toluenesulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine
- N*-(toluene-4-sulfonyl)-*L*-(piperazin-2-carbonyl)-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine
- 10 *N*-(α -toluenesulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- N*-(toluene-4-sulfonyl)-*L*-prolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *N*-adamantyl amide
- 15 *N*-(toluene-4-sulfonyl)-*L*-prolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanylglycine
- N*-(toluene-4-sulfonyl)-*L*-prolyl-*L*-4-(*N,N*-dimethylaminosulfonyloxy)phenylalanine methyl ester
- 20 *N*-(toluene-4-sulfonyl)-*L*-(piperazin-2-carbonyl)-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- N*-(toluene-4-sulfonyl)-*L*-(4-benzoyloxycarbonylpiperazin-2-carbonyl)-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- 25 *N*-(toluene-4-sulfonyl)sarcosyl-*L*-4-(isonipecotoxyloxy)phenylalanine
- N*-(toluene-4-sulfonyl)-*L*-[(1,1-dioxo)thiamorpholin-3-carbonyl]-*L*-4-(morpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester
- 30 *N*-(toluene-4-sulfonyl)-*L*-[(1,1-dioxo)thiamorpholin-3-carbonyl]-*L*-4-(morpholin-4-ylcarbonyloxy)phenylalanine
- 35 *N*-(1-methylpyrazole-4-sulfonyl)-*L*-prolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- N*-(4-fluorobenzenesulfonyl)-*L*-prolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- 40 *N*-(toluene-4-sulfonyl)sarcosyl-*L*-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester
- N*-(toluene-4-sulfonyl)-*L*-(1,1-dioxo-5,5-dimethyl)thiaprolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
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- N*-(1-methylpyrazole-4-sulfonyl)-*L*-prolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine
- 5 2-(saccharin-2-yl)propionoyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- N*-(toluene-4-sulfonyl)-*L*-(1,1-dioxo-5,5-dimethyl)thiaprolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine
- 10 *N*-(4-fluorobenzenesulfonyl)-*L*-prolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine
- N*-(pyridine-3-sulfonyl)-*L*-prolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine
- 15 *N*-(toluene-4-sulfonyl)-*D*-prolyl-*L*-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester
- N*-(toluene-4-sulfonyl)-*L-N*-methylalanyl-*L*-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester
- 20 *N*-(4-nitrobenzenesulfonyl)-*L*-prolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- N*-(toluene-4-sulfonyl)-*L*-[(1,1-dioxo)thiomorpholin-3-carbonyl]-*L*-4-(*N,N*-dimethylaminosulfonyloxy)phenylalanine *tert*-butyl ester
- 25 *N*-(toluene-4-sulfonyl)sarcosyl-*L*-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester
- 30 *N*-(toluene-4-sulfonyl)-*L-N*-methylalanyl-*L*-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine
- N*-(toluene-4-sulfonyl)-*L*-prolyl-*L*-4-(1,1-dioxothiomorpholin-4-ylcarbonyloxy)phenylalanine
- 35 *N*-(toluene-4-sulfonyl)-*L*-prolyl-*L*-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine
- N*-(toluene-4-sulfonyl)-*L*-prolyl-*L*-4-(isonipecotoxyloxy)phenylalanine
- 40 *N*-(toluene-4-sulfonyl)-*L*-prolyl-*L*-4-(pyrrolidin-1-ylcarbonyloxy)phenylalanine
- N*-(toluene-4-sulfonyl)-*L*-prolyl-*L*-4-(morpholin-4-ylcarbonyloxy)phenylalanine
- 45 *N*-(toluene-4-sulfonyl)-*L*-prolyl-*L*-4-(morpholin-4-ylcarbonyloxy)phenylalanine

- N*-(toluene-4-sulfonyl)-*L*-prolyl-*L*-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine neopentyl ester
- 5 *N*-(toluene-4-sulfonyl)-*L*-prolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine neopentyl ester
- N*-(toluene-4-sulfonyl)-*L*-prolyl-*L*-4-(4-*tert*-butyloxycarbonylpiperazin-1-ylcarbonyloxy)phenylalanine ethyl ester
- 10 *N*-(toluene-4-sulfonyl)-*L*-prolyl-*L*-4-(morpholin-4-ylcarbonyloxy)phenylalanine ethyl ester
- 2-(saccharin-2-yl)propionoyl-*L*-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester
- 15 2-(saccharin-2-yl)propionoyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine
- N*-(toluene-4-sulfonyl)sarcosyl-*L*-4-(1,1-dioxothiomorpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester
- 20 *N*-(toluene-4-sulfonyl)sarcosyl-*L*-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine
- 25 *N*-(toluene-4-sulfonyl)-*L*-*N*-methylalanyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- N*-(toluene-4-sulfonyl)-*L*-(thiomorpholin-3-carbonyl)-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- 30 *N*-(toluene-4-sulfonyl)sarcosyl-*L*-4-(1,1-dioxothiomorpholin-4-ylcarbonyloxy)phenylalanine
- N*-(toluene-4-sulfonyl)-*L*-(1,1-dioxothiomorpholin-3-carbonyl)-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- 35 *N*-(toluene-4-sulfonyl)-*L*-(1,1-dioxothiomorpholin-3-carbonyl)-*L*-4-(morpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester
- 40 *N*-(toluene-4-sulfonyl)-*L*-*N*-methylalanyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine
- N*-(4-fluorobenzenesulfonyl)-*L*-(thiomorpholin-3-carbonyl)-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
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- N*-(4-fluorobenzenesulfonyl)-*L*-(1,1-dioxothiamorpholin-3-carbonyl)-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- 5 *N*-(pyridine-3-sulfonyl)-*L*-prolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- N*-(pyrimidine-2-sulfonyl)-*L*-prolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- 10 *N*-(4-nitrobenzenesulfonyl)-*L*-prolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine
- N*-(4-cyanobenzenesulfonyl)-*L*-prolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- 15 *N*-(toluene-4-sulfonyl)-*L*-(1,1-dioxothiamorpholin-3-carbonyl)-*L*-4-(*N,N*-dimethylaminosulfonyloxy)phenylalanine
- N*-(toluene-4-sulfonyl)-*L*-(1,1-dioxothiamorpholin-3-carbonyl)-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine
- 20 *N*-(toluene-4-sulfonyl)-*L*-(1,1-dioxo)thiaprolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- N*-(toluene-4-sulfonyl)-*L*-(1,1-dioxo)thiaprolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- 25 *N*-(4-fluorobenzenesulfonyl)-*L*-prolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- N*-(1-methylimidazole-4-sulfonyl)-*L*-prolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine
- 30 *N*-(toluene-4-sulfonyl)-*L*-(1,1-dioxo)thiaprolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine
- N*-(4-fluorobenzenesulfonyl)-*L*-thiaprolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine
- 35 *N*-(toluene-4-sulfonyl)-*L*-prolyl-*L*-4-(piperazin-1-ylcarbonyloxy)phenylalanine
- N*-(toluene-4-sulfonyl)-*L*-prolyl-*L*-4-(1-*tert*-butyloxycarbonylpiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester
- 40 *N*-(toluene-4-sulfonyl)-*L*-prolyl-*L*-4-(piperazin-1-ylcarbonyloxy)phenylalanine ethyl ester
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- N*-(toluene-4-sulfonyl)-*L*-prolyl-*L*-4-(4-acetylpiperazin-1-ylcarbonyloxy)phenylalanine ethyl ester
- 5 *N*-(toluene-4-sulfonyl)-*L*-prolyl-*L*-4-(4-methanesulfonylpiperazin-1-ylcarbonyloxy)phenylalanine ethyl ester
- N*-(toluene-4-sulfonyl)-*L*-prolyl-*L*-4-(morpholin-4-ylcarbonyloxy)-3-nitrophenylalanine
- 10 *N*-(toluene-4-sulfonyl)-*L*-prolyl-*L*-4-(1-*tert*-butoxycarbonylpiperazin-1-ylcarbonyloxy)phenylalanine
- N*-(toluene-4-sulfonyl)-*L*-*N*-methyl-2-(*tert*-butyl)glycinyll-*L*-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester
- 15 *N*-(4-fluorobenzenesulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- N*-(4-fluorobenzenesulfonyl)-*L*-(1,1-dioxothiamorpholin-3-carbonyl)-*L*-4-(1,1-dioxothiomorpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester
- 20 *N*-(toluene-4-sulfonyl)-*L*-(1,1-dioxothiamorpholin-3-carbonyl)-*L*-4-(1,1-dioxothiomorpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester
- 25 *N*-(4-fluorobenzenesulfonyl)-*L*-prolyl-*L*-4-(1,1-dioxothiomorpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester
- N*-(4-fluorobenzenesulfonyl)-*L*-prolyl-*L*-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester
- 30 *N*-(4-fluorobenzenesulfonyl)-*L*-prolyl-*L*-4-(morpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester
- N*-(4-fluorobenzenesulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine
- 35 *N*-(4-fluorobenzenesulfonyl)-*L*-(1,1-dioxothiamorpholin-3-carbonyl)-*L*-4-(morpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester
- 40 *N*-(4-trifluoromethoxybenzenesulfonyl)-*L*-prolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- N*-(toluene-4-sulfonyl)-*L*-(1,1-dioxothiamorpholin-3-carbonyl)-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester
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- 3-[N-(toluene-4-sulfonyl)-N-methylamino]-1-[1-*tert*-butoxycarbonyl-2-(N,N-dimethylcarbamyloxy)phenylethyl]azetidine
- 5 N-(4-fluorobenzenesulfonyl)-L-(1,1-dioxo-5,5-dimethyl)thiaprolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- N-(toluene-4-sulfonyl)-L-(1,1-dioxo-5,5-dimethyl)thiaprolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine isopropyl ester
- 10 N-(toluene-4-sulfonyl)-L-(1,1-dioxothiomorpholin-3-carbonyl)-L-4-(morpholin-4-ylcarbonyloxy)phenylalanine
- N-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine
- 15 N-(pyrimidine-2-sulfonyl)-L-prolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine
- N-(toluene-4-sulfonyl)-L-(1,1-dioxothiomorpholin-3-carbonyl)-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester
- 20 3-[N-(toluene-4-sulfonyl)-N-methylamino]-1-[1-carboxy-2-(N,N-dimethylcarbamyloxy)phenylethyl]azetidine
- 25 N-(1-methylpyrazole-4-sulfonyl)-L-prolyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester
- N-(4-fluorobenzenesulfonyl)-L-(1,1-dioxo)thiaprolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine
- 30 N-(toluene-4-sulfonyl)-L-prolyl-L-4-(isonipecotoxyloxy)phenylalanine *tert*-butyl ester
- N-(toluene-4-sulfonyl)-L-prolyl-L-4-(1,1-dioxothiomorpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester
- 35 N-(toluene-4-sulfonyl)-L-prolyl-L-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester
- 40 N-(toluene-4-sulfonyl)-L-prolyl-L-4-(pyrrolidin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester
- N-(4-fluorobenzenesulfonyl)-L-thiaprolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
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- N*-(4-fluorobenzenesulfonyl)-L-(1,1-dioxo)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- 5 *N*-(2,5-dichlorothiophene-3-sulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- N*-(4-acetamidobenzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- 10 *N*-(4-*tert*-butylbenzenesulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- N*-(pyridine-2-sulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine
- 15 *N*-(2-fluorobenzenesulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- N*-(3-fluorobenzenesulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- 20 *N*-(2,4-difluorobenzenesulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- N*-(4-acetamidobenzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine
- 25 *N*-(4-trifluoromethoxybenzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine
- 30 *N*-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- N*-(4-cyanobenzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine
- 35 *N*-(toluene-4-sulfonyl)-L-(3,3-dimethyl)prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- N*-(toluene-4-sulfonyl)-L-(3,3-dimethyl)prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester
- 40 *N*-(1-methylpyrazole-4-sulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *iso*-propyl ester
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- N-(1-methylpyrazole-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- 5 N-(1-methylpyrazole-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine
- N-(toluene-4-sulfonyl)-L-prolyl-L-4-(N-(1,4-dioxo-8-aza-spiro[4.5]decan-8-yl)carbonyloxy)phenylalanine ethyl ester
- 10 N-(toluene-4-sulfonyl)-L-prolyl-L-4-(N-(1,4-dioxo-8-aza-spiro[4.5]decan-8-yl)carbonyloxy)phenylalanine
- N-(toluene-4-sulfonyl)-L-prolyl-L-4-(4'-acetylpiperazin-1-ylcarbonyloxy)phenylalanine
- 15 N-(toluene-4-sulfonyl)-L-prolyl-L-4-(4'-methanesulfonylpiperazin-1-ylcarbonyloxy)phenylalanine
- N-(toluene-4-sulfonyl)-L-prolyl-L-4-(4'-phenylpiperazin-1-ylcarbonyloxy)phenylalanine
- 20 N-(toluene-4-sulfonyl)-L-prolyl-L-4-(piperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester
- 25 2-(saccharin-2-yl)propionyl-L-4-(4'-methylpiperazin-1-ylcarbonyloxy)phenylalanine
- N-(toluene-4-sulfonyl)-L-prolyl-L-4-(4'-methanesulfonylpiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester
- 30 N-(toluene-4-sulfonyl)-L-prolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine (N'-*tert*-butoxycarbonyl-2-amino-2-methylpropyl) ester
- 35 N-(toluene-4-sulfonyl)-L-prolyl-L-4-(4'-acetylpiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester
- N-(toluene-4-sulfonyl)-L-prolyl-L-4-(4'-hydroxypiperidin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester
- 40 N-(toluene-4-sulfonyl)-L-prolyl-L-4-(N-(2'-(morpholin-4'-yl)ethyl)carbamyloxy)phenylalanine *tert*-butyl ester
- 45 N-(toluene-4-sulfonyl)-L-prolyl-L-4-(N-(1,4-dioxo-8-aza-spiro[4.5]decan-8-yl)carbonyloxy)phenylalanine *tert*-butyl ester

- N-(toluene-4-sulfonyl)-L-prolyl-L-4-(N-(2'-hydroxyethyl)-N-methylcarbamyloxy)phenylalanine *tert*-butyl ester
- 5 N-(toluene-4-sulfonyl)-L-prolyl-4-(4'-(2-hydroxyethyl)piperazin-1-ylcarbonyloxy)-L-phenylalanine *tert*-butyl ester
- N-(toluene-4-sulfonyl)-L-prolyl-L-4-(N-(2'-formyloxyethyl)-N-methylcarbamyloxy)phenylalanine
- 10 N-(toluene-4-sulfonyl)-L-prolyl-L-4-(N-(2'-hydroxyethyl)-N-methylcarbamyloxy)phenylalanine isopropyl ester
- N-(toluene-4-sulfonyl)-L-prolyl-L-4-(N-(methoxycarbonylmethyl)carbamyloxy)phenylalanine *tert*-butyl ester
- 15 N-(1-methylpyrazole-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-(4-N,N-dimethylcarbamyloxy)phenylalanine isopropyl ester
- N-(toluene-4-sulfonyl)-L-prolyl-L-4-(4'-methoxypiperidin-1-ylcarbonyloxy)phenylalanine isopropyl ester
- 20 N-(toluene-4-sulfonyl)-L-prolyl-L-4-(4'-methoxypiperidin-1-ylcarbonyloxy)phenylalanine
- N-(toluene-4-sulfonyl)-L-4-oxoprolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- 25 N-(toluene-4-sulfonyl)-L-*trans*-4-hydroxyprolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- 30 N-(3-fluorobenzenesulfonyl)-L-prolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- N-(morpholino-sulfonyl)-L-prolyl-L-(4-N,N-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- 35 N-(morpholino-sulfonyl)-L-prolyl-L-(4-N,N-dimethylcarbamyloxy)phenylalanine
- 40 N-(1-methylpyrazole-4-sulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(N,N-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- N-(2-fluorobenzenesulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(N,N-dimethylcarbamyloxy)phenylalanine
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- N*-(2,4-difluorobenzenesulfonyl)-*L*-(1,1-dioxothiomorpholin-3-carbonyl)-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine
- 5 *N*-(toluene-4-sulfonyl)-*L*-(thiomorpholin-3-carbonyl)-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine
- N*-(pyridine-3-sulfonyl)-*L*-(5,5-dimethyl-thiaprolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester
- 10 *N*-(3-fluorobenzenesulfonyl)-*L*-(1,1-dioxothiomorpholin-3-carbonyl)-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine
- N*-(1-methylpyrazole-4-sulfonyl)-*L*-(1,1-dioxothiomorpholin-3-carbonyl)-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine
- 15 *N*-(4-*tert*-butylbenzenesulfonyl)-*L*-(1,1-dioxothiomorpholin-3-carbonyl)-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine
- N*-(toluene-4-sulfonyl)-(3,3-dimethyl)prolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine
- 20 *N*-(2,5-dichlorothiophene-3-sulfonyl)-*L*-prolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine
- N*-(4-methoxybenzenesulfonyl)-*L*-prolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine
- 25 *N*-(4-methoxybenzenesulfonyl)-*L*-prolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester
- 30 *N*-(toluene-4-sulfonyl)-*L*-(1-oxo-thiomorpholin-3-carbonyl)-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine
- N*-(toluene-4-sulfonyl)-*L*-(1-oxo-thiomorpholin-3-carbonyl)-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- 35 *N*-(3,4-difluorobenzenesulfonyl)-*L*-prolyl-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester
- N*-(3,4-difluorobenzenesulfonyl)-*L*-prolyl-4-(*N,N*-dimethylcarbamyloxy)phenylalanine
- 40 *N*-(3,4-difluorobenzenesulfonyl)-*L*-(1,1-dioxothiomorpholin-3-carbonyl)-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
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N-(3,4-difluorobenzenesulfonyl)-*L*-(1,1-dioxothiamorpholin-3-carbonyl)-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine

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N-(toluene-4-sulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-(thiomorpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester

N-(toluene-4-sulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-(thiomorpholin-4-ylcarbonyloxy)phenylalanine

10

N-(1-methylpyrazole-4-sulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine ethyl ester

N-(pyridine-3-sulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine

15

N-(pyridine-2-sulfonyl)-*L*-prolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

20

N-(pyridine-2-sulfonyl)-*L*-prolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine

N-(pyridine-2-sulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

25

N-(pyridine-2-sulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine

N-(toluene-4-sulfonyl)-*L*-(thiamorpholin-3-carbonyl)-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

30

N-(3-fluorobenzenesulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

35

N-(2-fluorobenzenesulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

N-(3,4-difluorobenzenesulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

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N-(3,5-difluorobenzenesulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

N-(2,4-difluorobenzenesulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

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- N*-(4-chlorobenzenesulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester
- 5 *N*-(3-chlorobenzenesulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester
- N*-(2-chlorobenzenesulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester
- 10 *N*-(3,4-dichlorobenzenesulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester
- N*-(3,5-dichlorobenzenesulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester
- 15 *N*-(3-chlorobenzenesulfonyl)-*L*-(1,1-dioxothiamorpholin-3-carbonyl)-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- N*-(3,4-dichlorobenzenesulfonyl)-*L*-(1,1-dioxothiamorpholin-3-carbonyl)-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- 20 *N*-(4-methoxybenzenesulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester
- 25 *N*-(3-methoxybenzenesulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester
- N*-(2-methoxybenzenesulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester
- 30 *N*-(3,4-dimethoxybenzenesulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester
- N*-(2,4-difluorobenzenesulfonyl)-*L*-(thiamorpholin-3-carbonyl)-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester
- 35 *N*-(3,4-dichlorobenzenesulfonyl)-*L*-(1,1-dioxothiamorpholin-3-carbonyl)-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine
- 40 *N*-(3-chlorobenzenesulfonyl)-*L*-(1,1-dioxothiamorpholin-3-carbonyl)-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine
- N*-(3-chloro-4-fluorobenzenesulfonyl)-*L*-(1,1-dioxothiamorpholin-3-carbonyl)-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
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- N*-(1-methylpyrazole-4-sulfonyl)-*L*-(thiomorpholin-3-carbonyl)-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- 5 *N*-(3,4-difluorobenzenesulfonyl)-*L*-(thiomorpholin-3-carbonyl)-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- N*-(toluene-4-sulfonyl)-*L*-(5,5-dimethyl)thiopropyl-*L*-(thiomorpholin-4-ylcarbonyloxy)phenylalanine isopropyl ester
- 10 *N*-(3,4-difluorobenzenesulfonyl)-*L*-(thiomorpholin-3-carbonyl)-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine
- N*-(2,5-dichlorothiophene-3-sulfonyl)-*L*-(5,5-dimethyl)thiopropyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester
- 15 *N*-(1-methylpyrazole-4-sulfonyl)-*L*-(5,5-dimethyl)thiopropyl-*L*-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine isopropyl ester
- N*-(8-quinolinesulfonyl)-*L*-propyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester
- 20 *N*-(8-quinolinesulfonyl)-*L*-propyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine
- N*-(8-quinolinesulfonyl)-*L*-(5,5-dimethyl)thiopropyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester
- 25 *N*-(8-quinolinesulfonyl)-*L*-(5,5-dimethyl)thiopropyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine
- 30 *N*-(toluene-4-sulfonyl)-*L*-propyl-*L*-4-(4-phenylpiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester
- N*-(toluene-4-sulfonyl)-*L*-propyl-*L*-4-(4'-(ethoxycarbonyl)piperidin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester
- 35 *N*-(pyridine-3-sulfonyl)-*L*-(5,5-dimethyl)thiopropyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- N*-(3-sulfonamido-4-chloro-benzenesulfonyl)-*L*-propyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester
- 40 *N*-(toluene-4-sulfonyl)-*L*-(1-oxothiomorpholin-3-carbonyl)-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester
- 45

N-(2,4-difluorobenzenesulfonyl)-*L*-(1-oxothiomorpholin-3-carbonyl)-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

5 *N*-(1-methylpyrazole-4-sulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine 2,2-dimethylpropyl ester

N-(pyridine-3-sulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine 2,2-dimethylpropyl ester

10 *N*-(1-methylpyrazole-4-sulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine cyclopropylmethyl ester

15 *N*-(1-methylpyrazole-4-sulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine methyl ester

N-(pyridine-3-sulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine ethyl ester

20 *N*-(pyridine-3-sulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine cyclopropylmethyl ester

N-(1-methylpyrazole-4-sulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine 2-methoxyphenyl ester

25 *N*-(1-methylpyrazole-4-sulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *n*-butyl ester

N-(1-methylpyrazole-4-sulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *n*-propyl ester

30 *N*-(1-methylpyrazole-4-sulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine 2,2-dimethylpropionyloxymethyl ester

35 *N*-(toluene-4-sulfonyl)-*L*-prolyl-*L*-4-(*N*-(4'-(2'-aminoethyl)morpholino)carbamyloxy)phenylalanine

N-(toluene-4-sulfonyl)-*L*-prolyl-*L*-4-[4-(carboxy)piperidin-1-ylcarbonyloxy]phenylalanine

40 *N*-(toluene-4-sulfonyl)-*L*-prolyl-*L*-4-(*N,N*-bis-(2-hydroxyethyl)carbamyloxy)phenylalanine isopropyl ester

45 *N*-(toluene-4-sulfonyl)-*L*-prolyl-*L*-4-[3-(hydroxymethyl)piperidin-1-ylcarbonyloxy]phenylalanine isopropyl ester

- N*-(toluene-4-sulfonyl)-*L*-prolyl-*L*-4-(4-trifluoromethanesulfonylpiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester
- 5 *N*-(4-(*N*-phenylurea)benzenesulfonyl)-*L*-prolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- 10 *N*-(2-trifluoroacetyl-1,2,3,4-tetrahydroisoquinolin-7-sulfonyl)-*L*-prolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester
- 15 *N*-(1-methylpyrazole-3-sulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester
- 20 *N*-(1-methylpyrazole-3-sulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine
- 25 *N*-(pyridine-4-sulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester
- 30 *N*-(pyridine-4-sulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine
- 35 *N*-(toluene-4-sulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-4-(*N*-methyl-*N*-(2-dimethylaminoethyl)carbamyloxy)phenylalanine *tert*-butyl ester
- 40 *N*-(toluene-4-sulfonyl)-*L*-prolyl-*L*-4-(*N*-methyl-*N*-(2-dimethylaminoethyl)carbamyloxy)phenylalanine *tert*-butyl ester
- 45 *N*-(toluene-4-sulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-4-(*N*-methyl-*N*-(2-dimethylaminoethyl)carbamyloxy)phenylalanine
- 50 *N*-(4-fluorobenzenesulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-3-chloro-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester
- 55 *N*-(4-fluorobenzenesulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-3-chloro-4-(*N,N*-dimethylcarbamyloxy)phenylalanine
- 60 *N*-(4-fluorobenzenesulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-3-chloro-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester
- 65 *N*-(4-fluorobenzenesulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-3-chloro-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine isopropyl ester

N-(4-fluorobenzenesulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-3-chloro-4-(*N,N*-dimethylcarbamyloxy)]phenylalanine isopropyl ester

5 *N*-(toluene-4-sulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-3-chloro-4-(*N,N*-dimethylcarbamyloxy)]phenylalanine isopropyl ester

N-(4-fluorobenzenesulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-3-chloro-4-(4-methylpiperazin-1-ylcarbonyloxy)]phenylalanine isopropyl ester

10 *N*-(toluene-4-sulfonyl)-*L*-prolyl-*L*-3-chloro-4-(*N,N*-dimethylcarbamyloxy)]phenylalanine isopropyl ester

15 *N*-(4-fluorobenzenesulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-3-chloro-4-(4-(2'-pyridyl)-piperazin-1-ylcarbonyloxy)]phenylalanine isopropyl ester

N-(4-fluorobenzenesulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-3-chloro-4-(4-(2'-pyridyl)-piperazin-1-ylcarbonyloxy)]phenylalanine *tert*-butyl ester

20 *N*-(4-nitrobenzenesulfonyl)-*L*-prolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)]phenylalanine isopropyl ester

N-(4-aminobenzenesulfonyl)-*L*-prolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)]phenylalanine isopropyl ester

25 *N*-(toluene-4-sulfonyl)-*L*-prolyl-*L*-4-(thiomorpholin-4-ylcarbonyloxy)]phenylalanine isopropyl ester

30 *N*-(toluene-4-sulfonyl)-*L*-prolyl-*L*-4-(4-phenylcarbamyloxy)piperazin-1-ylcarbonyloxy)]phenylalanine isopropyl ester

N-(toluene-4-sulfonyl)-*L*-prolyl-*L*-4-(4-phenylcarbamyloxy)piperazin-1-ylcarbonyloxy)]phenylalanine

35 *N*-(1-*n*-butylpyrazole-4-sulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)]phenylalanine isopropyl ester

N-(toluene-4-sulfonyl)-*L*-prolyl-*L*-4-(pyridin-4-ylcarbonyl)piperazin-1-ylcarbonyloxy)]phenylalanine isopropyl ester

40 *N*-(toluene-4-sulfonyl)-*L*-4-oxoprolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)]phenylalanine

45 *N*-(toluene-4-sulfonyl)-*L-trans*-4-hydroxyprolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)]phenylalanine

- N*-(4-cyanobenzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester
- 5 *N*-(4-aminobenzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine
- N*-(toluene-4-sulfonyl)-L-4-oxoprolyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester
- 10 *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-[3-(hydroxymethyl)piperidin-1-ylcarbonyloxy]phenylalanine
- N*-(toluene-4-sulfonyl)-L-(4,4-difluoro)prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester
- 15 *N*-(toluene-4-sulfonyl)-L-(4,4-difluoro)prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine
- N*-(toluene-4-sulfonyl)-L-prolyl-L-(4-benzoylpiperazin-1-ylcarbonyloxy)phenylalanine isopropyl ester
- 20 *N*-(1-methyl-1H-imidazole-4-sulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester
- N*-(toluene-4-sulfonyl)-L-4-(thiomorpholin-4-ylcarbonyloxy)prolyl-L-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine
- 25 *N*-(4-cyanobenzenesulfonyl)-L-prolyl-L-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine isopropyl ester
- 30 *N*-(4-amidinobenzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine methyl ester
- N*-(toluene-4-sulfonyl)-L-4-oxoprolyl-L-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester
- 35 *N*-(toluene-4-sulfonyl)-L-4-hydroxyprolyl-L-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine
- N*-(toluene-4-sulfonyl)-L-prolyl-L-(4-benzoylpiperazin-1-ylcarbonyloxy)phenylalanine
- 40 *N*-(4-amidinobenzenesulfonyl)-L-prolyl-L-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine methyl ester
- 45

- N*-(3-fluorobenzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine
- 5 *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-[*N*-methyl-*N*-(2-(*N'*-methyl-*N'*-toluenesulfonyl-amino)ethyl)carbamyloxy]phenylalanine isopropyl ester
- 10 *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-[*N*-(2-(*N'*-phenylaminocarbonyloxy)ethyl)carbamyloxy]]phenylalanine isopropyl ester
- 15 *N*-(4-fluorobenzenesulfonyl)-L-4-(*trans*-hydroxy)prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester
- 20 *N*-(4-fluorobenzenesulfonyl)-L-4-(*trans*-hydroxy)prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- 25 *N*-(4-amidinobenzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine
- 30 piperazine-1,4-dicarboxylic acid bis-{4-[(2*S*)-2-*tert*-butoxycarbonyl-2-((4*R*)-5,5-dimethyl-3-(toluene-4-sulfonyl)thiazolidine-4-carboxamido)ethyl]phenyl} ester
- 35 piperazine-1,4-dicarboxylic acid bis-{4-[(2*S*)-2-carboxy-2-((4*R*)-5,5-dimethyl-3-(toluene-4-sulfonyl)thiazolidine-4-carboxamido)ethyl]phenyl} ester
- 40 *N*-(toluene-4-sulfonyl)-L-(pyrazin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- 45 *N*-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(2-hydroxymethylpyrrolidin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester
- N*-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(2-hydroxymethylpyrrolidin-1-ylcarbonyloxy)phenylalanine
- N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(2-methoxycarbonylpyrrolidin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester
- N*-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-chloro-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine
- N*-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)]phenylalanine

- N*-(4-fluorobenzenesulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)]phenylalanine *tert*-butyl ester
- 5 piperazine-1,4-dicarboxylic acid bis-{4-[(2*S*)-2-isopropoxycarbonyl-2-((2*R*)-1-(toluene-4-sulfonyl)pyrrolidine-2-carboxamido)ethyl]phenyl} ester
- 10 *N*-(toluene-4-sulfonyl)-*L*-(4-hydroxy)prolyl-*L*-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester
- 15 *N*-(toluene-4-sulfonyl)-*L*-prolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine 2-(2-methoxyethoxy)ethyl ester
- 20 *N*-(4-fluorobenzenesulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-4-(4-(2-pyrimidyl)piperazin-1-ylcarbonyloxy)]phenylalanine *tert*-butyl ester
- 25 *N*-(4-fluorobenzenesulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-3-fluoro-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester
- 30 *N*-(toluene-4-sulfonyl)-*L*-(1-methanesulfonylpyrazin-3-carbonyl)-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- 35 *N*-[2-(1,1-dioxo-2,3-dihydro-3,3-dimethyl-1,2-benzisothiazol-2-yl)acetyl]-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine
- 40 *N*-[2-(*N*-2,10-camphorsultamyl)acetyl]-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- 45 *N*-[2-(*N*-2,10-camphorsultamyl)acetyl]-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine
- 50 *N*-[2-(*N*-2,10-camphorsultamyl)acetyl]-*L*-3-chloro-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester
- 55 *N*-(4-bromobenzenesulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- 60 *N*-(4-bromobenzenesulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine
- 65 *N*-(toluene-4-sulfonyl)-*L*-(4-hydroxy)prolyl-*L*-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine
- 70 *N*-(4-fluorobenzenesulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-4-(4-(2-pyrimidyl)piperazin-1-ylcarbonyloxy)]phenylalanine

- piperazine-1,4-dicarboxylic acid bis-{4-[(2S)-2-*tert*-butoxycarbonyl-2-((2R)-1-(toluene-4-sulfonyl)pyrrolidine-2-carboxamido)ethyl]phenyl} ester
- 5 *N*-(4-fluorobenzenesulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)]phenylalanine isopropyl ester
- 10 *N*-(4-fluorobenzenesulfonyl)thiazolidinyl-2-carbonyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine
- 15 *N*-(4-fluorobenzenesulfonyl)thiazolidinyl-2-carbonyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- 20 *N*-(toluene-4-sulfonyl)-*L*-(4-oxo)prolyl-*L*-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine
- 25 *N*-(toluene-4-sulfonyl)-*L*-(4-oxo)prolyl-*L*-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine
- 30 *N*-(4-fluorobenzenesulfonyl)thiazolidinyl-2-carbonyl-*L*-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)]phenylalanine
- 35 *N*-(4-nitrobenzenesulfonyl)-*L*-prolyl-*L*-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)]phenylalanine *tert*-butyl ester
- 40 *N*-(4-fluorobenzenesulfonyl)thiazolidinyl-2-carbonyl-*L*-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)]phenylalanine *tert*-butyl ester
- 45 *N*-(4-bromobenzenesulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)]phenylalanine
- 50 *N*-(toluene-4-sulfonyl)-*L*-prolyl-*L*-4-(4-(*N*-phenylthiocarbonyl)piperazin-1-ylcarbonyloxy)]phenylalanine isopropyl ester
- 55 *N*-(4-fluorobenzenesulfonyl)thiazolidinyl-2-carbonyl-*L*-4-(4-methylhomopiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester
- 60 piperazine-1,4-dicarboxylic acid bis-{4-[(2S)-2-carboxyl-2-((2R)-1-(toluene-4-sulfonyl)pyrrolidine-2-carboxamido)ethyl]phenyl} ester
- 65 *N*-(toluene-4-sulfonyl)-*L*-4-(methanesulfonyloxy)prolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- 70 *N*-(4-aminocarbonylbenzenesulfonyl)-*L*-prolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine

- N*-(4-aminocarbonylbenzenesulfonyl)-*L*-prolyl-*L*-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine
- 5 *N*-(4-amidinobenzenesulfonyl)-*L*-prolyl-*L*-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine
- N*-(4-nitrobenzenesulfonyl)-*L*-prolyl-*L*-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)]phenylalanine
- 10 *N*-(4-fluorobenzenesulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-3-chloro-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)]phenylalanine ethyl ester
- N*-(4-fluorobenzenesulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-3-chloro-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine
- 15 *N*-(4-fluorobenzenesulfonyl)thiazolidinyl-2-carbonyl-*L*-4-(4-methylhomopiperazin-1-ylcarbonyloxy)phenylalanine
- N*-(1-methylpyrazole-3-sulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-3-chloro-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester
- 20 *N*-(1-methylimidazole-4-sulfonyl)-*L*-prolyl-*L*-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine isopropyl ester
- N*-(1-methylimidazole-4-sulfonyl)-*L*-prolyl-*L*-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester
- 25 *N*-(toluene-4-sulfonyl)-*L*-prolyl-*L*-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine
- 30 *N*-(toluene-4-sulfonyl)-*L*-prolyl-*L*-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester
- N*-(toluene-4-sulfonyl)-*L*-prolyl-*L*-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine isopropyl ester
- 35 *N*-(4-fluorobenzenesulfonyl)-*L*-prolyl-*L*-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine isopropyl ester
- N*-(4-fluorobenzenesulfonyl)-*L*-prolyl-*L*-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester
- 40 *N*-(toluene-4-sulfonyl)-*L*-(1-methanesulfonylpyrazin-3-carbonyl)-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine
- 45

N-(toluene-4-sulfonyl)-*L*-4-(methanesulfonyloxy)prolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine

5 *N*-(methanesulfonyl)-*N*-benzylglycinyll-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

N-(4-bromobenzenesulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

10 *N*-(4-trifluoromethoxybenzenesulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine

15 *N*-(4-trifluoromethoxybenzenesulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

N-(4-trifluoromethoxybenzenesulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

20 *N*-(4-fluorobenzenesulfonyl)-*L*-prolyl-*L*-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine

N-(4-fluorobenzenesulfonyl)-*L*-(4-hydroxy)prolyl-*L*-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine

25 *N*-(4-trifluoromethoxybenzenesulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine

30 *N*-(1-methylimidazole-4-sulfonyl)-*L*-prolyl-*L*-3-chloro-4-(*N,N*-dimethylcarbamyloxy)phenylalanine

N-(1-methylimidazole-4-sulfonyl)-*L*-prolyl-*L*-3-chloro-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

35 *N*-(1-methylimidazole-4-sulfonyl)-*L*-prolyl-*L*-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine

N-(1-methylimidazole-4-sulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine

40 *N*-(1-methylpyrazole-3-sulfonyl)-*L*-prolyl-*L*-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine

45 *N*-(1-methylpyrazole-3-sulfonyl)-*L*-prolyl-*L*-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine isopropyl ester

N-(1-methylpyrazole-3-sulfonyl)-L-prolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

5 *N*-(1-methylpyrazole-3-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

N-(1-methylimidazole-4-sulfonyl)-L-prolyl-L-3-chloro-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine isopropyl ester

10 *N*-(1-methylpyrazole-3-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine 2-phenoxyethyl ester

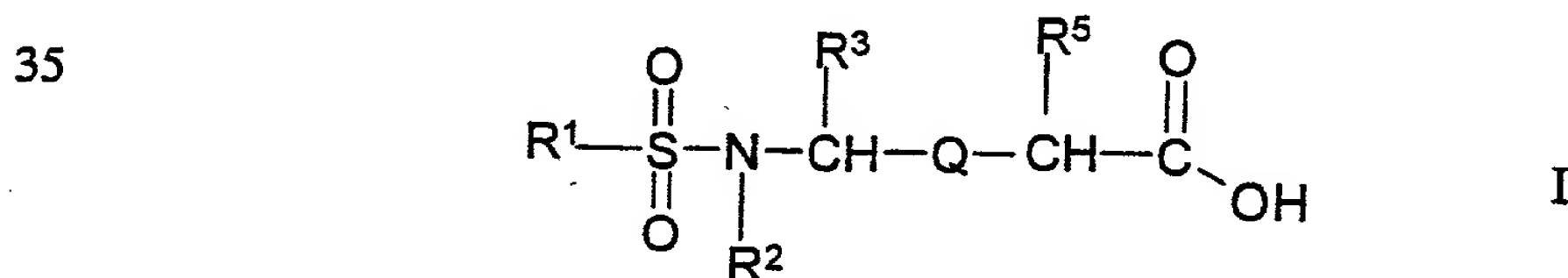
15 *N*-(1-methylpyrazole-3-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-chloro-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine

N-(1-methylpyrazole-3-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-chloro-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine ethyl ester

20 *N*-(3-chloro-1,5-dimethylpyrazole-3-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-chloro-4-(4-(5-trifluoromethyl-2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine

25 and pharmaceutically acceptable salts thereof as well as any of the ester compounds recited above wherein one ester is replaced with another ester selected from the group consisting of methyl ester, ethyl ester, *n*-propyl ester, isopropyl ester, *n*-butyl ester, isobutyl ester, *sec*-butyl ester, *tert*-butyl ester and neopentyl ester.

30 17. A pharmaceutical composition comprising a pharmaceutically acceptable carrier and a therapeutically effective amount of a compound of formula I:



wherein

R^1 is selected from the group consisting of alkyl, substituted alkyl, aryl, substituted aryl, cycloalkyl, substituted cycloalkyl, heterocyclic, substituted heterocyclic, heteroaryl and substituted heteroaryl;

5 R^2 is selected from the group consisting of hydrogen, alkyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, heterocyclic, substituted heterocyclic, substituted alkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, and R^1 and R^2 together with the nitrogen atom bound to R^2 and the SO_2 group bound to R^1 can form a heterocyclic or a substituted heterocyclic group;

10 R^3 is selected from the group consisting of hydrogen, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic, substituted heterocyclic and, when R^2 does not form a heterocyclic group with R^1 , R^2 and R^3 together with the nitrogen atom bound to R^2 and the carbon atom bound to R^3 can form a heterocyclic or a substituted heterocyclic group;

15 R^5 is $-(CH_2)_x-Ar-R^{5'}$ where $R^{5'}$ is selected from the group consisting of $-O-Z-NR^8R^{8'}$ and $-O-Z-R^{12}$ wherein R^8 and $R^{8'}$ are independently selected from the group consisting of hydrogen, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, heterocyclic, substituted heterocyclic, and where R^8 and $R^{8'}$ are joined to form a heterocycle or a substituted heterocycle, R^{12} is selected from the group consisting of heterocycle and substituted heterocycle, and Z is selected from the group consisting of $-C(O)-$ and $-SO_2-$,

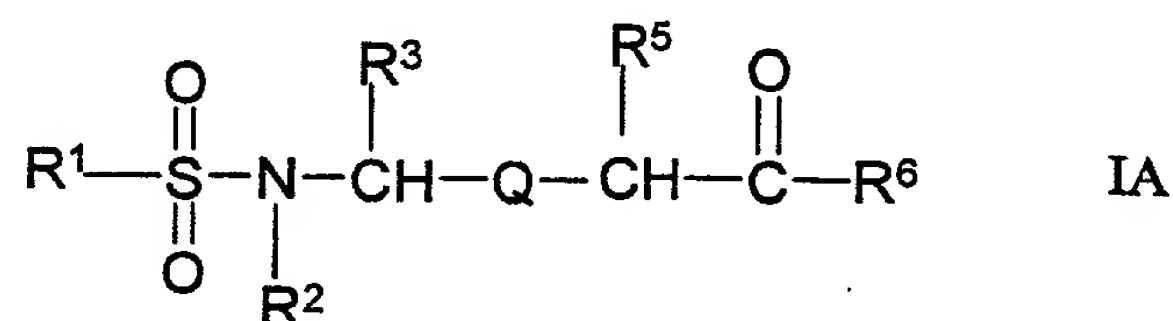
20 Ar is aryl, heteroaryl, substituted aryl or substituted heteroaryl,
 x is an integer of from 1 to 4;

Q is $-C(X)NR^7-$ wherein R^7 is selected from the group consisting of hydrogen and alkyl; and X is selected from the group consisting of oxygen and sulfur;

30 and pharmaceutically acceptable salts thereof.

18. A pharmaceutical composition comprising a pharmaceutically acceptable carrier and a therapeutically effective amount of a compound of formula IA:

5



wherein

10

R^1 is selected from the group consisting of alkyl, substituted alkyl, aryl, substituted aryl, cycloalkyl, substituted cycloalkyl, heterocyclic, substituted heterocyclic, heteroaryl and substituted heteroaryl;

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R^2 is selected from the group consisting of hydrogen, alkyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, heterocyclic, substituted heterocyclic, substituted alkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, and R^1 and R^2 together with the nitrogen atom bound to R^2 and the SO_2 group bound to R^1 can form a heterocyclic or a substituted heterocyclic group;

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R^3 is selected from the group consisting of hydrogen, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic, substituted heterocyclic and, when R^2 does not form a heterocyclic group with R^1 , R^2 and R^3 together with the nitrogen atom bound to R^2 and the carbon atom bound to R^3 can form a heterocyclic or a substituted heterocyclic group;

25

R^5 is $-(\text{CH}_2)_x-\text{Ar}-\text{R}^{5'}$ and $\text{R}^{5'}$ is selected from the group consisting of $-\text{O}-\text{Z}-\text{NR}^8\text{R}^{8'}$ and $-\text{O}-\text{Z}-\text{R}^{12}$ wherein R^8 and $\text{R}^{8'}$ are independently selected from the group consisting of hydrogen, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, heterocyclic, substituted heterocyclic, and where R^8 and $\text{R}^{8'}$ are joined to form a heterocycle or a substituted heterocycle, R^{12} is

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selected from the group consisting of heterocycle and substituted heterocycle, and Z is selected from the group consisting of $-\text{C}(\text{O})-$ and

-SO₂-,

Ar is aryl, heteroaryl, substituted aryl or substituted heteroaryl,

x is an integer of from 1 to 4;

R⁶ is selected from the group consisting of amino, alkoxy, substituted
5 alkoxy, cycloalkoxy, substituted cycloalkoxy, -O-(N-succinimidyl), -NH-
adamantyl, -O-cholest-5-en-3-β-yl, -NHOY where Y is hydrogen, alkyl,
substituted alkyl, aryl, and substituted aryl, -NH(CH₂)_pCOOY where *p* is an
integer of from 1 to 8 and Y is as defined above, -OCH₂NR⁹R¹⁰ where R⁹ is
10 selected from the group consisting of -C(O)-aryl and -C(O)-substituted aryl
and R¹⁰ is selected from the group consisting of hydrogen and -CH₂COOR¹¹
where R¹¹ is alkyl, and -NHSO₂Z' where Z' is alkyl, substituted alkyl,
cycloalkyl, substituted cycloalkyl, aryl, substituted aryl, heteroaryl,
substituted heteroaryl, heterocyclic and substituted heterocyclic;

Q is -C(X)NR⁷- wherein R⁷ is selected from the group consisting of
15 hydrogen and alkyl; and X is selected from the group consisting of oxygen
and sulfur;

and pharmaceutically acceptable salts thereof

with the following provisos

(A) when R¹ and R² together with the SO₂ group pendent to R¹ and the
20 nitrogen pendent to R² form a saccharin-2-yl group, R³ is -CH₃, R⁵ is
p-[(CH₃)₂NC(O)O-]benzyl and Q is -C(O)NH- then R⁶ is not -OC(CH₃)₃;

(B) when R¹ is *p*-methylphenyl, R² and R³ together with the nitrogen
atom pendent to R² and the carbon atom pendent to R³ form a pyrrolidinyl
ring derived from D-proline; R⁵ is *p*-[(4-methylpiperazin-1-yl)NC(O)O-]
25]benzyl derived from D-phenylalanine and Q is -C(O)NH- then R⁶ is not
-OC(CH₃)₃; and

(C) when R¹ is pyrimidin-2-yl, R² and R³ together with the nitrogen
atom bound to R² and the carbon atom bound to R³ form a pyrrolidinyl ring,
R⁵ is *p*-[(CH₃)₂NC(O)O-]benzyl and Q is -C(O)NH- then R⁶ is not
30 -OC(CH₃)₃.

19. A pharmaceutical composition according to Claims 17 or 18 wherein R¹ is selected from the group consisting of alkyl, substituted alkyl, aryl, substituted aryl, heterocyclic, substituted heterocyclic, heteroaryl and substituted heteroaryl.

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20. A pharmaceutical composition according to Claims 17 or 18 wherein R¹ is selected from the group consisting of methyl, isopropyl, *n*-butyl, benzyl, phenethyl, phenyl, 4-methylphenyl, 4-*t*-butylphenyl, 2,4,6-trimethylphenyl, 2-fluorophenyl, 3-fluorophenyl, 4-fluorophenyl, 2,4-difluorophenyl, 3,4-difluorophenyl, 3,5-difluorophenyl, 2-chlorophenyl, 3-chlorophenyl, 4-chlorophenyl, 3,4-dichlorophenyl, 3,5-dichlorophenyl, 3-chloro-4-fluorophenyl, 4-bromophenyl, 2-methoxyphenyl, 3-methoxyphenyl, 4-methoxyphenyl, 3,4-dimethoxyphenyl, 4-*t*-butoxyphenyl, 4-(3'-dimethylamino-*n*-propoxy)-phenyl, 2-carboxyphenyl, 2-(methoxycarbonyl)phenyl, 4-(H₂NC(O)-)phenyl, 4-(H₂NC(S)-)phenyl, 4-cyanophenyl, 4-trifluoromethylphenyl, 4-trifluoromethoxyphenyl, 3,5-di-(trifluoromethyl)phenyl, 4-nitrophenyl, 4-aminophenyl, 4-(CH₃C(O)NH-)phenyl, 4-(PhNHC(O)NH-)phenyl, 4-amidinophenyl, 4-methylamidinophenyl, 4-(CH₃SC(=NH)-)phenyl, 4-chloro-3-(H₂NS(O)₂-)phenyl, 1-naphthyl, 2-naphthyl, pyridin-2-yl, pyridin-3-yl, pyrimidin-2-yl, quinolin-8-yl, 2-(trifluoroacetyl)-1,2,3,4-tetrahydroisoquinolin-7-yl, morpholin-4-yl, 2-thienyl, 5-chloro-2-thienyl, 2,5-dichloro-4-thienyl, 1-N-methylimidazol-4-yl, 1-N-methylpyrazol-3-yl, 1-N-methylpyrazol-4-yl, 1-N-butylpyrazol-4-yl, 1-N-methyl-3-methyl-5-chloropyrazol-4-yl, 1-N-methyl-5-methyl-3-chloropyrazol-4-yl, 2-thiazolyl and 5-methyl-1,3,4-thiadiazol-2-yl.

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21. A pharmaceutical composition according to Claims 17 or 18 wherein R² is selected from the group consisting of hydrogen, methyl, phenyl, benzyl, -(CH₂)₂-2-thienyl, and -(CH₂)₂-φ.

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22. A pharmaceutical composition according to Claims 17 or 18 wherein R^1 and R^2 together with the nitrogen atom bound to R^2 and the SO_2 group bound to R^1 are joined to form a heterocyclic group or substituted heterocyclic group.

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23. A pharmaceutical composition according to Claims 17 or 18 wherein R^2 and R^3 together with the nitrogen atom bound to R^2 substituent and the carbon bound to the R^3 substituent form a heterocyclic group or a substituted heterocyclic group.

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24. A pharmaceutical composition according to Claim 23 wherein the heterocyclic group is saturated.

25. A pharmaceutical composition according to Claim 23 wherein the heterocyclic group is selected from the group consisting of azetidiny, thiazolidiny, piperidiny, piperaziny, dihydroindolyl, tetrahydroquinoliny, thiomorpholiny, pyrrolidiny, 4-hydroxypyrrolidiny, 4-oxopyrrolidiny, 4-fluoropyrrolidiny, 4,4-difluoropyrrolidiny, 4-(thiomorpholin-4-ylC(O)O-)pyrrolidiny, 4-($CH_3S(O)_2O$ -)pyrrolidiny, 3-phenylpyrrolidiny, 3-thiophenylpyrrolidiny, 4-aminopyrrolidiny, 3-methoxypyrrolidiny, 4,4-dimethylpyrrolidiny, 4-N-Cbz-piperaziny, 4-($CH_3S(O)_2$ -)piperaziny, 5,5-dimethylthiazolidin-4-yl, 1,1-dioxo-thiazolidiny, 1,1-dioxo-5,5-dimethylthiazolidin-2-yl and 1,1-dioxothiomorpholiny.

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26. A pharmaceutical composition according to Claims 17 or 18 wherein R^3 is selected from the group consisting of methyl, phenyl, benzyl, diphenylmethyl, $-CH_2CH_2-COOH$, $-CH_2-COOH$, 2-amidoethyl, *iso*-butyl, *t*-butyl, $-CH_2O$ -benzyl and hydroxymethyl.

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27. A pharmaceutical composition according to Claims 17 or 18 wherein Q is $-C(O)NH-$ or $-C(S)NH-$.

28. A pharmaceutical composition according to Claims 17 or 18 wherein Ar is aryl or substituted aryl.

5 29. A pharmaceutical composition according to Claim 28 wherein Ar is phenyl or substituted phenyl and x is 1.

30. A pharmaceutical composition according to Claim 17 or 18 wherein R⁵ is selected from the group consisting of

- 10 3-[(CH₃)₂NC(O)O-]benzyl,
4-[(CH₃)₂NC(O)O-]benzyl,
4-[(CH₃)₂NS(O)₂O-]benzyl,
4-[(piperidin-1'-yl)C(O)O-]benzyl,
4-[(piperidin-4'-yl)C(O)O-]benzyl,
15 4-[(1'-methylpiperidin-4'-yl)C(O)O-]benzyl,
4-[(4'-hydroxypiperidin-1'-yl)C(O)O-]benzyl,
4-[(4'-formyloxypiperidin-1'-yl)C(O)O-]benzyl,
4-[(4'-ethoxycarbonylpiperidin-1'-yl)C(O)O-]benzyl,
4-[(4'-carboxypiperidin-1'-yl)C(O)O-]benzyl,
20 4-[(3'-hydroxymethylpiperidin-1'-yl)C(O)O-]benzyl,
4-[(4'-hydroxymethylpiperidin-1'-yl)C(O)O-]benzyl,
4-[(4'-phenyl-1'-Boc-piperidin-4'-yl)-C(O)O-]benzyl,
4-[(4'-piperidon-1'-yl ethylene ketal)C(O)O-]benzyl,
4-[(piperazin-4'-yl)-C(O)O-]benzyl,
25 4-[(1'-Boc-piperazin-4'-yl)-C(O)O-]benzyl,
4-[(4'-methylpiperazin-1'-yl)C(O)O-]benzyl,
4-[(4'-methylhomopiperazin-1'-yl)C(O)O-]benzyl,
4-[(4'-(2-hydroxyethyl)piperazin-1'-yl)C(O)O-]benzyl,
4-[(4'-phenylpiperazin-1'-yl)C(O)O-]benzyl,
30 4-[(4'-(pyridin-2-yl)piperazin-1'-yl)C(O)O-]benzyl,
4-[(4'-(4-trifluoromethylpyridin-2-yl)piperazin-1'-yl)C(O)O-]benzyl,

- 4-[(4'-(pyrimidin-2-yl)piperazin-1'-yl)C(O)O-]benzyl,
4-[(4'-acetylpiperazin-1'-yl)C(O)O-]benzyl,
4-[(4'-(phenylC(O)-)piperazin-1'-yl)C(O)O-]benzyl,
4-[(4'-(pyridin-4-ylC(O)-)piperazin-1'-yl)C(O)O-]benzyl,
5 4-[(4'-(phenylNHC(O)-)piperazin-1'-yl)C(O)O-]benzyl,
4-[(4'-(phenylNHC(S)-)piperazin-1'-yl)C(O)O-]benzyl,
4-[(4'-methanesulfonylpiperazin-1'-yl-C(O)O-)benzyl,
4-[(4'-trifluoromethanesulfonylpiperazin-1'-yl-C(O)O-)benzyl,
4-[(morpholin-4'-yl)C(O)O-]benzyl,
10 3-nitro-4-[(morpholin-4'-yl)-C(O)O-]benzyl,
4-[(thiomorpholin-4'-yl)C(O)O-]benzyl,
4-[(thiomorpholin-4'-yl sulfone)-C(O)O-]benzyl,
4-[(pyrrolidin-1'-yl)C(O)O-]benzyl,
4-[(2'-methylpyrrolidin-1'-yl)C(O)O-]benzyl,
15 4-[(2'-(methoxycarbonyl)pyrrolidin-1'-yl)C(O)O-]benzyl,
4-[(2'-(hydroxymethyl)pyrrolidin-1'-yl)C(O)O-]benzyl,
4-[(2'-(N,N-dimethylamino)ethyl)(CH₃)NC(O)O-]benzyl,
4-[(2'-(N-methyl-N-toluene-4-sulfonylamino)ethyl)(CH₃)N-
C(O)O-]benzyl,
20 4-[(2'-(morpholin-4'-yl)ethyl)(CH₃)NC(O)O-]benzyl,
4-[(2'-(hydroxy)ethyl)(CH₃)NC(O)O-]benzyl,
4-[bis(2'-(hydroxy)ethyl)NC(O)O-]benzyl,
4-[(2'-(formyloxy)ethyl)(CH₃)NC(O)O-]benzyl,
4-[(CH₃OC(O)CH₂)HNC(O)O-]benzyl,
25 4-[2'-(phenylNHC(O)O-)ethyl-]HNC(O)O-]benzyl,
3-chloro-4-[(CH₃)₂NC(O)O-]benzyl,
3-chloro-4-[(4'-methylpiperazin-1'-yl)C(O)O-]benzyl,
3-chloro-4-[(4'-(pyridin-2-yl)piperazin-1'-yl)C(O)O-]benzyl,
3-chloro-4-[(thiomorpholin-4'-yl)C(O)O-]benzyl, and
30 3-fluoro-4-[(CH₃)₂NC(O)O-]benzyl.

31. A pharmaceutical composition according to Claim 18 wherein R⁶ is selected from the group consisting of 2,4-dioxo-tetrahydrofuran-3-yl (3,4-enol), methoxy, ethoxy, *n*-propoxy, isopropoxy, *n*-butoxy, *t*-butoxy, cyclopentoxy, cyclopropylmethoxy, neopentoxy, 2- α -isopropyl-4- β -methylcyclohexoxy, 2- β -isopropyl-4- β -methylcyclohexoxy, 2-methoxyphenoxy, 2-(morpholin-4-yl)ethoxy, -O(CH₂CH₂O)₂CH₃, 2-(phenoxy)ethoxy, -OCH₂C(CH₃)₂NHBoc, -NH₂, benzyloxy, -NHCH₂COOH, -NHCH₂CH₂COOH, -NH-adamantyl, -NHSO₂-*p*-CH₃- ϕ , -NHCH₂CH₂COOCH₂CH₃, -NHOY' where Y' is hydrogen, methyl, *iso*-propyl or benzyl, -O-(N-succinimidyl), -O-cholest-5-en-3- β -yl, -OCH₂-OC(O)C(CH₃)₃, -O(CH₂)_zNHC(O)W where *z* is 1 or 2 and W is selected from the group consisting of pyrid-3-yl, N-methylpyridyl, and N-methyl-1,4-dihydro-pyrid-3-yl, -NR''C(O)-R' where R' is aryl, heteroaryl or heterocyclic and R'' is hydrogen or -CH₂C(O)OCH₂CH₃.

32. A method for binding VLA-4 in a biological sample which method comprises contacting the biological sample with a compound of Claim 1 or 2 under conditions wherein said compound binds to VLA-4.

33. A method for treating an inflammatory condition in a mammalian patient which condition is mediated by VLA-4 which method comprises administering to said patient a therapeutically effective amount of a pharmaceutical composition of Claim 17 or 18.

34. The method according to Claim 33 wherein said inflammatory condition is selected from the group consisting of asthma, Alzheimer's disease, atherosclerosis, AIDS dementia, diabetes, inflammatory bowel disease, multiple sclerosis, rheumatoid arthritis, tissue transplantation, tumor metastasis, meningitis, encephalitis, stroke, nephritis, retinitis, atopic dermatitis, psoriasis, myocardial ischemia and acute leukocyte-mediated lung injury.